09914957

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=> d his

     (FILE 'HOME' ENTERED AT 11:49:47 ON 30 JUN 2004)

     FILE 'REGISTRY' ENTERED AT 11:50:01 ON 30 JUN 2004
L1                STRUCTURE UPLOADED
L2              6 S L1
L3             82 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 11:51:33 ON 30 JUN 2004
L4              9 S L3

     FILE 'BEILSTEIN' ENTERED AT 12:13:51 ON 30 JUN 2004
L5              0 S L1
L6              1 S L1 SSS FULL

     FILE 'MARPAT' ENTERED AT 12:18:17 ON 30 JUN 2004
L7              1 S L3
L8             50 S L3 SSS FULL

     FILE 'CAPLUS' ENTERED AT 12:19:26 ON 30 JUN 2004
L9             50 S L8
L10            49 S L8 NOT L4
L11             0 S L10 AND NK3
L12            40 S L10 NOT ANTIBACTERIAL?
L13            40 S L12 NOT INDOLY?
L14             0 S L13 AND NEUROKININ?
L15             0 S L14 AND CARBOXAMIDE

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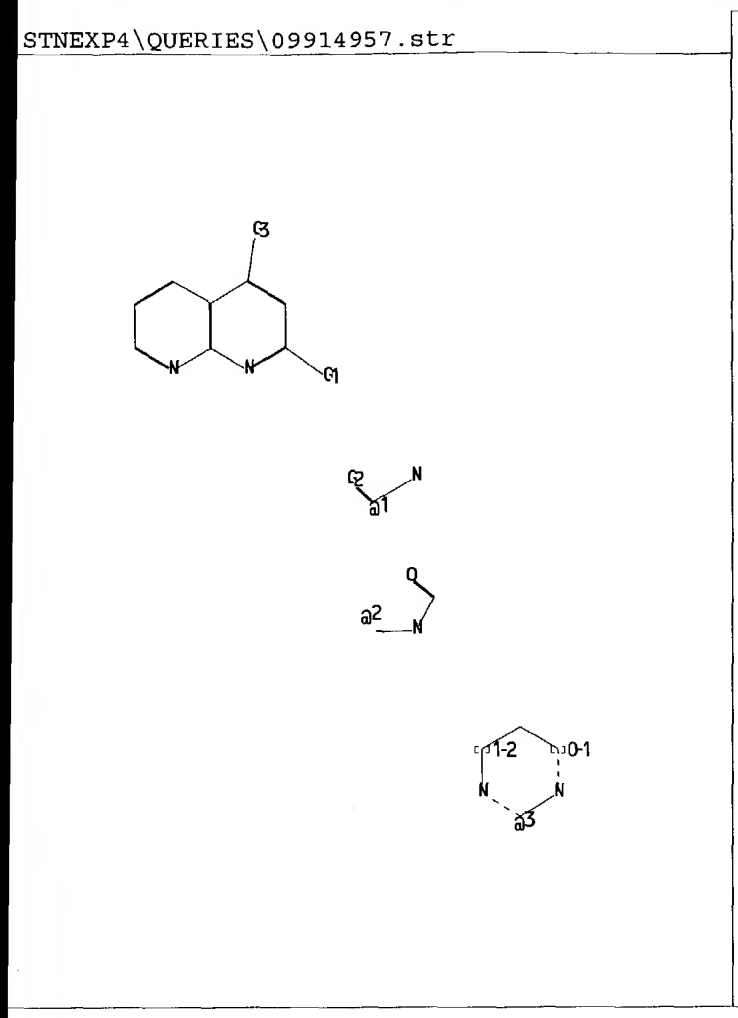

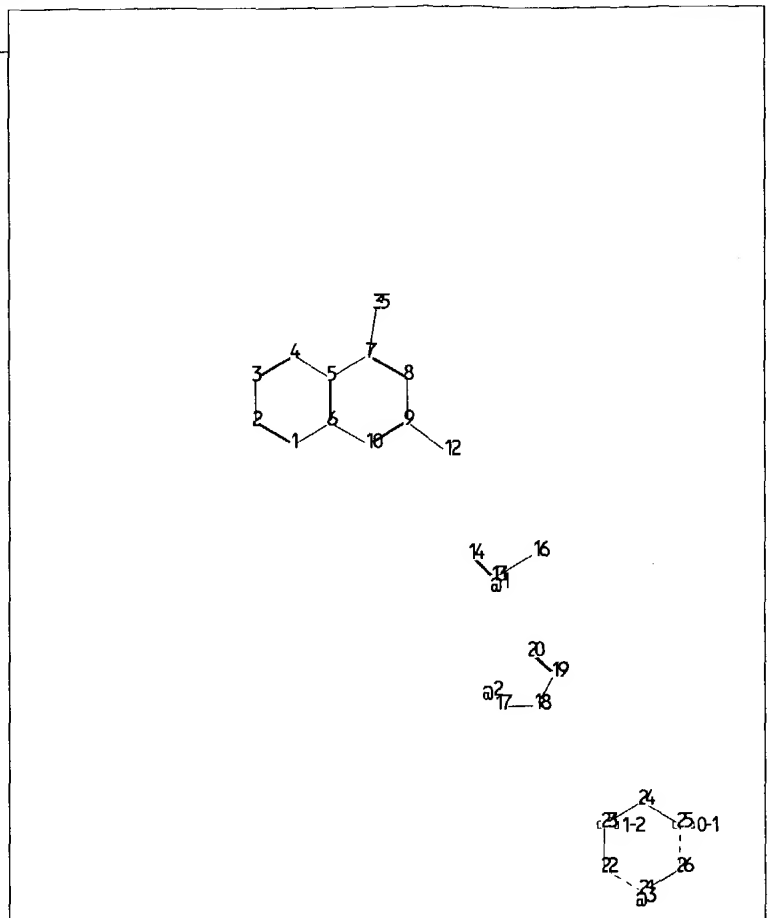

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12 13 14 16 17 18 19 20 35
ng nodes :
1 2 3 4 5 6 7 8 9 10 21 22 23 24 25 26
ain bonds :
7-35 9-12 13-16 13-14 17-18 18-19 19-20
ng bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 21-22 21-26 22-23 23-24 24-25
25-26
act/norm bonds :
7-35 9-12 13-16 13-14 17-18 18-19 19-20 21-22 21-26 22-23 23-24 24-25 25-26
rmalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10

:C,O,N,Cy

:O,S,N

:[*1],[*2],[*3]

tch level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 12:CLASS
13:CLASS 14:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:Atom 22:Atom
23:Atom 24:Atom 25:Atom 26:Atom 35:CLASS

09914957

=> d 1-9 bib abs hitstr

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:312037 CAPLUS
DN 136:325436
TI Preparation of quinolinylindoles as antimicrobial agents
IN Cuny, Gregory D.; Hauske, James R.; Hoemann, Michael Z.; Chopra, Ian
PA Sepracor Inc., USA
SO U.S., 167 pp., Cont. of U.S. Ser. No. 639,622.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6376670	B1	20020423	US 2000-658690	20000908
	US 6207679	B1	20010327	US 1998-45051	19980319
	US 6172084	B1	20010109	US 1998-99640	19980618
	US 6103905	A	20000815	US 1998-213385	19981211
PRAI	US 1997-878781	B2	19970619		
	US 1998-45051	A2	19980319		
	US 1998-99640	A2	19980618		
	US 1998-213385	A1	19981211		
	US 2000-639622	A2	20000815		

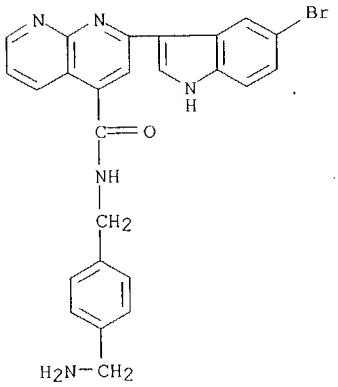
OS MARPAT 136:325436
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; Z = CO, CR2; R = H, alkyl; R5-R8, R14-R17 = H, halo, alkyl, etc.; R9, R10 = H, alkyl, cycloalkyl, etc.; R3 = H, alkyl; R11 = H, alkyl; R12 = H, alkyl] which are bactericidal to a Gram-pos. bacterium via a non-lytic mechanism at its MIC (data given), were prepared E.g., a multi-step synthesis of II, was given.

IT **218464-35-8P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinolinylindole derivs. as antimicrobial agents)

RN 218464-35-8 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[[4-(aminomethyl)phenyl]methyl]-2-(5-bromo-1H-indol-3-yl)- (9CI) (CA INDEX NAME)



RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:222008 CAPLUS
DN 134:252257
TI Preparation of 2-(indolin-3-yl)quinoline derivatives and compositions in use as antimicrobial agents
IN Cuny, Gregory D.; Hauske, James R.; Heefner, Donald L.; Hoemann, Michael

09914957

Z.; Kumaravel, Gnanasambandam; Melikian-Badalian, Anita; Rossi, Richard F.
PA Sepracor, Inc., USA
SO U.S., 112 pp., Cont.-in-part of U.S. Ser. No. 878,781, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6207679	B1	20010327	US 1998-45051	19980319
	WO 9857931	A2	19981223	WO 1998-US12762	19980618
	WO 9857931	A3	19990429		
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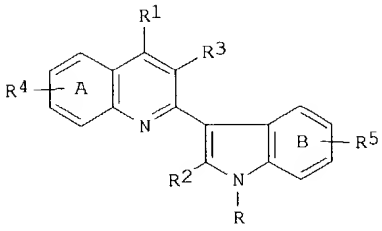
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	EP 991623	A2	20000412	EP 1998-930396	19980618
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	US 6172084	B1	20010109	US 1998-99640	19980618
	JP 2002505689	T2	20020219	JP 1999-504835	19980618
	AU 757059	B2	20030130	AU 1998-79797	19980618
	US 6103905	A	20000815	US 1998-213385	19981211
	NO 9906269	A	20000216	NO 1999-6269	19991217
	US 6376670	B1	20020423	US 2000-658690	20000908
PRAI	US 1997-878781	B2	19970619		
	US 1998-45051	A2	19980319		
	US 1998-99640	A2	19980618		
	WO 1998-US12762	W	19980618		
	US 1998-213385	A1	19981211		
	US 2000-639622	A2	20000815		

OS MARPAT 134:252257
GI



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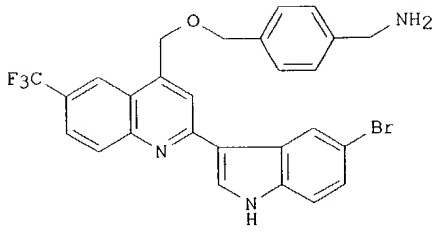


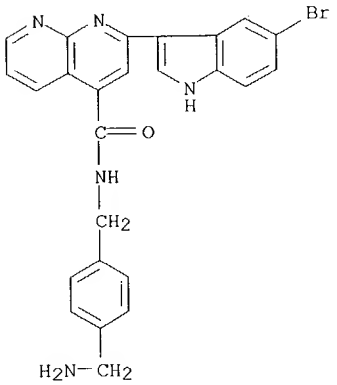
II

AB Title compds. I [wherein; R, R1, R2 and R3 are H, halo, alk(en)(yn)yl, OH, alkoxy, amino, nitro, SH, imine, amide, CO, -(CH2)0-8-R80, etc.; R4 is the same as R-R3 but not H; R5 is the same as R4 except that at least 1(-8) CH2 precede R80; A is (un)substituted with any number of R4 up to the number limited by stability and rules of valence; B is substituted with at least one instance of R5 up to the number limited by stability and rules of valence; R80 is (substituted) aryl, cycloalk(en)yl, heterocyclyl or

polycyclyl.] and related quinoline derivs. are prepared as antimicrobial agents. For instance, synthesis of II is accomplished by alkylation of 4-hydroxymethyl-6-trifluoromethyl-2-(N-t-butoxycarbonylindol-3-yl)quinoline with (4-t-butoxycarbonylaminomethyl)benzyl iodide followed by deprotection. There are 282 examples of I provided. The min. inhibitory concentration (MIC) of I against at least one Gram-pos. bacterium is 0.1-10 μg/mL. Certain compds. of formula I have a therapeutic index in primates of at least 10 for the inhibition of infection by at least one Gram-pos. bacterium.

IT **218464-35-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and use of quinolinylindole derivs. as antimicrobial agents)

RN 218464-35-8 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[[4-(aminomethyl)phenyl]methyl]-2-(5-bromo-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

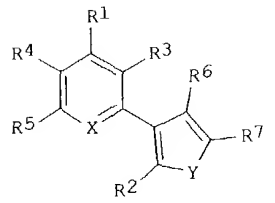


RE.CNT 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:25778 CAPLUS
DN 134:86170
TI Quinoline-indole antimicrobial agents
IN Cuny, Gregory D.; Hauske, James R.; Heefner, Donald L.; Hoemann, Michael Z.; Kumaravel, Gnanasambandam; Melikian-badalian, Anita; Rossi, Richard F.
PA Sepracor, Inc., USA
SO U.S., 151 pp., Cont.-in-part of U.S. Ser. No. 45,051.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6172084	B1	20010109	US 1998-99640	19980618
	US 6207679	B1	20010327	US 1998-45051	19980319
	US 6103905	A	20000815	US 1998-213385	19981211
	US 6376670	B1	20020423	US 2000-658690	20000908
PRAI	US 1997-878781	B2	19970619		
	US 1998-45051	A2	19980319		
	US 1998-99640	A2	19980618		
	US 1998-213385	A1	19981211		
	US 2000-639622	A2	20000815		

OS MARPAT 134:86170
GI



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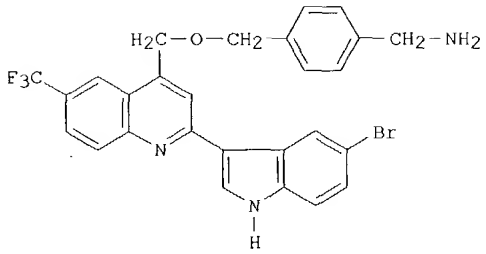


II

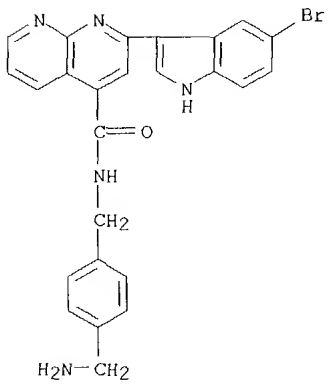
AB Indolylquinolines I [X = N; Y = NR; R-R3 = independently H, halogen, alkyl, alkenyl, alkynyl, OH, alkoxy, silyloxy, NH2, NO2, SH, alkylthio, imino, amido, phosphoryl, phosphonate, phosphine, CO, CONH2, anhydride, silyl, alkylsulfonyl, arylsulfonyl, alkylseleno, aldehyde, ester, heteroalkyl, CN, guanidine, amidine, acetal, ketal, amine oxide, (hetero)aryl, azide, aziridine, carbamate, epoxide, C(:NH)OH, imide, oxime, SO2NH2, CSNH2, thiocarbamate, urea, thiourea, or (CH2)mR80; R4R5, R6R7 = atoms required to complete an (un)substituted fused benzo ring system; R80 = (un)substituted aryl, cycloalkyl, cycloalkenyl, heterocycle, or polycycle; m = 0-8] were prepared by conventional or combinatorial synthetic methods for use as bactericides. Thus, 4-H2NCH2C6H4CO2H was esterified, N-tert-butoxycarbonylated, reduced, and treated with iodine to give 4-BocNHCH2C6H4CH2I, which was coupled with the indolylquinolinemethanol fragment and deblocked to give the product II. II had MIC's <7 μg/mL against methicillin-resistant Staphylococcus aureus, vancomycin-resistant Enterobacter sp., and Streptococccus pneumoniae.

IT **218464-35-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolylquinoline bactericides by conventional or combinatorial methods)

RN 218464-35-8 CAPLUS

CN 1,8-Naphthyridine-4-carboxamide, N-[[4-(aminomethyl)phenyl]methyl]-2-(5-bromo-1H-indol-3-yl)- (9CI) (CA INDEX NAME)



RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:707162 CAPLUS
DN 133:266833
TI Preparation of (hetero)aryl-fused 2,4-disubstituted pyridines as NK3 receptor ligands
IN Yuan, Jun; Maynard, George D.; Hutchison, Alan J.
PA Neurogen Corporation, USA
SO PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000058307	A2	20001005	WO 2000-US6371	20000310
	WO 2000058307	A3	20010208		
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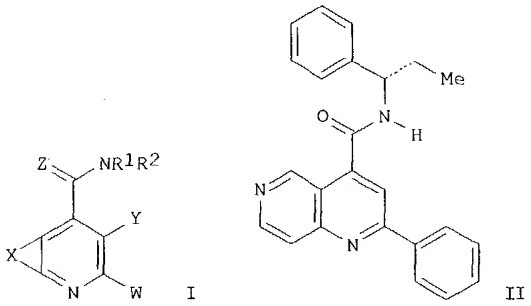
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1165555	A2	20020102	EP 2000-919382	20000310
	EP 1165555	B1	20030716		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002540206	T2	20021126	JP 2000-608009	20000310
	AT 245156	E	20030815	AT 2000-919382	20000310
PRAI	US 1999-123802P	P	19990311		
	WO 2000-US6371	W	20000310		

OS MARPAT 133:266833
GI



I II

AB Title compds. I [X = (un)substituted fused N-heterocycle; W = OH derivs., NH2 derivs., N-containing heterocycle {e.g. piperidino, morpholino, thiomorpholino, etc.} attached at nitrogen, or (un)substituted-Ph, -thienyl, -pyridyl, -cycloalkyl, -phenylalkyl, -thienylalkyl, -pyridylalkyl {aryl substituent(s) selected from halo, CN, CF3, CF3O, OH, (un)substituted alkyl}; Y = H, (un)substituted alkyl {substituents selected from halo, amino, OH, alkoxy, etc.}, (un)substituted alkoxy {substituents selected from amino derivs.}; Z = O, S, N-CN, H2; R1 = (un)substituted-alkanoyl, -Ph, -thienyl, -alkyl, -cycloalkyl, {substituents selected from halo, cyano, CF3, CF3O, etc}, cycloalkyl, fused arylcycloalkyl; R2 = H, alkyl; R1R2 = divalent (un)substituted carbon bridge; ZR1 = divalent (un)substituted carbon bridge {substituents selected from oxo, aryl substituted spirocycloalkyl, alkyl}} or pharmaceutically acceptable non-toxic salts or pharmaceutically acceptable solvates thereof are disclosed as NK3 receptor ligands. Binding assay studies were performed with pyridinyl derivative II possessing an IC50 = 0.05 μM. These compds. are highly selective agonists or antagonists at NK3 receptors, or are prodrugs thereof. The novel tachykinin NK-3 receptor antagonists contained in this invention have potential utility in the

treatment of a broad array of disorders and diseases of the central nervous system (CNS) and periphery in mammals in which activation of NK-3 receptors is of importance.

IT **298186-95-5P 298186-96-6P 298186-97-7P**
298186-98-8P 298186-99-9P 298187-00-5P
298187-01-6P 298187-02-7P 298187-03-8P
298187-04-9P 298187-16-3P 298187-18-5P
298187-19-6P 298187-20-9P 298187-21-0P
298187-22-1P 298187-23-2P 298187-24-3P
298187-25-4P 298187-26-5P 298187-27-6P
298187-29-8P 298187-31-2P 298187-33-4P
298187-35-6P 298187-37-8P 298187-39-0P
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298187-45-8P 298187-47-0P 298187-49-2P
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298187-92-5P 298187-94-7P 298187-96-9P
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298188-09-7P 298188-11-1P 298188-13-3P
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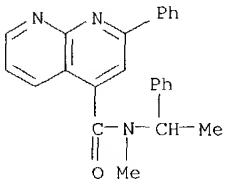
298189-47-6P 298189-51-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (hetero)aryl-fused 2,4-disubstituted pyridines as NK3 receptor ligands)

RN 298186-95-5 *CAPLUS*
CN 1,8-Naphthyridine-4-carboxamide, N-methyl-2-phenyl-N-(1-phenylethyl)- (9CI) (CA INDEX NAME)



RN 298186-96-6 *CAPLUS*
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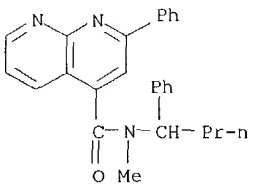

RN 298186-97-7 *CAPLUS*
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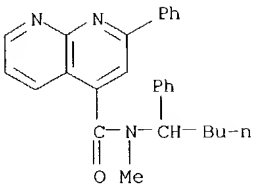

RN 298186-98-8 CAPLUS
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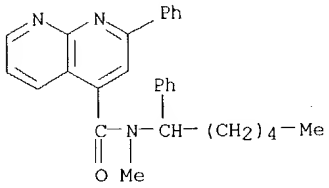
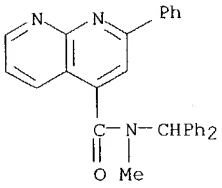
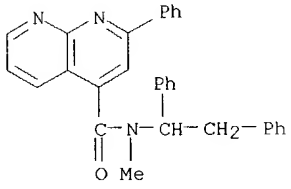


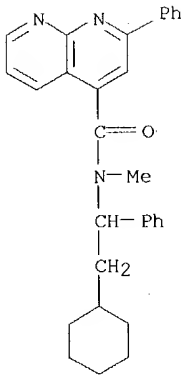
RN 298186-99-9 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-(diphenylmethyl)-N-methyl-2-phenyl-(9CI) (CA INDEX NAME)



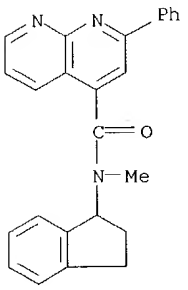
RN 298187-00-5 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-(1,2-diphenylethyl)-N-methyl-2-phenyl-(9CI) (CA INDEX NAME)



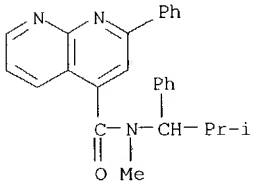
RN 298187-01-6 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-(2-cyclohexyl-1-phenylethyl)-N-methyl-2-phenyl- (9CI) (CA INDEX NAME)



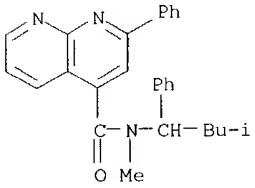
RN 298187-02-7 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-(2,3-dihydro-1H-inden-1-yl)-N-methyl-2-phenyl- (9CI) (CA INDEX NAME)



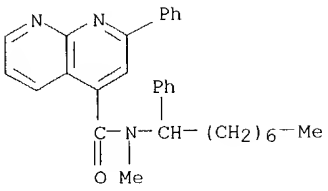
RN 298187-03-8 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-methyl-N-(2-methyl-1-phenylpropyl)-2-phenyl- (9CI) (CA INDEX NAME)



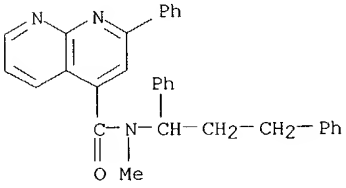
RN 298187-04-9 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-methyl-N-(3-methyl-1-phenylbutyl)-2-phenyl- (9CI) (CA INDEX NAME)



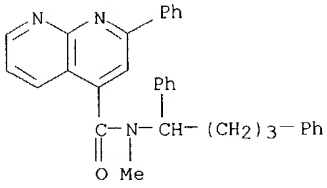
RN 298187-16-3 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-methyl-2-phenyl-N-(1-phenyloctyl)- (9CI) (CA INDEX NAME)



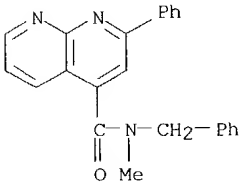
RN 298187-18-5 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-(1,3-diphenylpropyl)-N-methyl-2-phenyl- (9CI) (CA INDEX NAME)



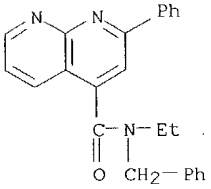
RN 298187-19-6 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-(1,4-diphenylbutyl)-N-methyl-2-phenyl- (9CI) (CA INDEX NAME)



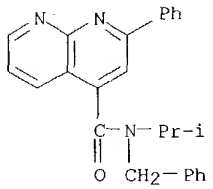
RN 298187-20-9 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-methyl-2-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



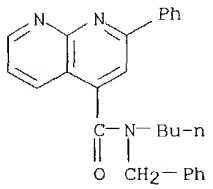
RN 298187-21-0 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-ethyl-2-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



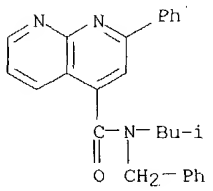
RN 298187-22-1 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-(1-methylethyl)-2-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



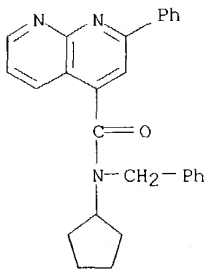
RN 298187-23-2 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-butyl-2-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



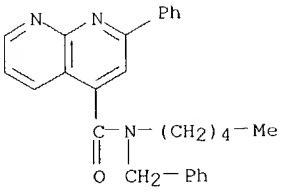
RN 298187-24-3 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-(2-methylpropyl)-2-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



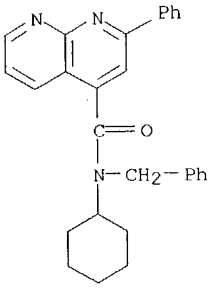
RN 298187-25-4 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-cyclopentyl-2-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



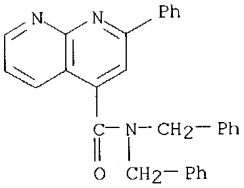
RN 298187-26-5 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-pentyl-2-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



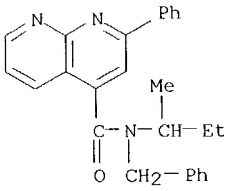
RN 298187-27-6 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-cyclohexyl-2-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



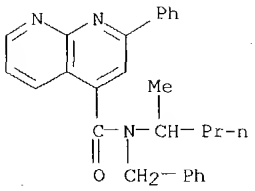
RN 298187-29-8 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, 2-phenyl-N,N-bis(phenylmethyl)- (9CI) (CA INDEX NAME)



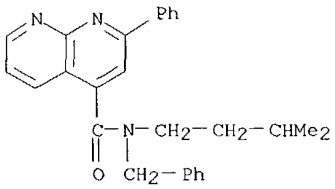
RN 298187-31-2 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-(1-methylpropyl)-2-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



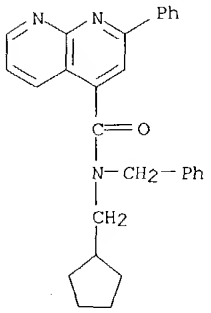
RN 298187-33-4 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-(1-methylbutyl)-2-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



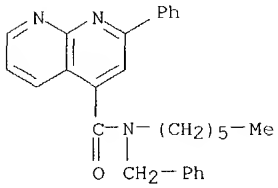
RN 298187-35-6 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-(3-methylbutyl)-2-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



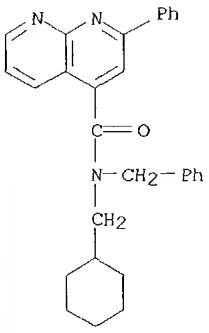
RN 298187-37-8 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-(cyclopentylmethyl)-2-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



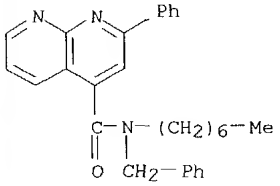
RN 298187-39-0 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-hexyl-2-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



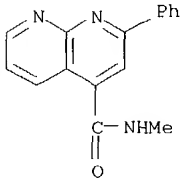
RN 298187-41-4 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-(cyclohexylmethyl)-2-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



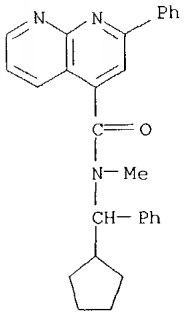
RN 298187-42-5 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-heptyl-2-phenyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



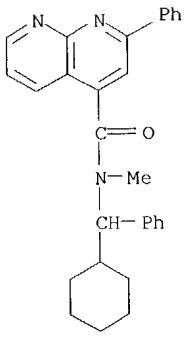
RN 298187-43-6 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-methyl-2-phenyl- (9CI) (CA INDEX NAME)



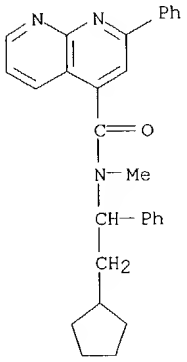
RN 298187-45-8 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-(cyclopentylphenylmethyl)-N-methyl-2-phenyl- (9CI) (CA INDEX NAME)



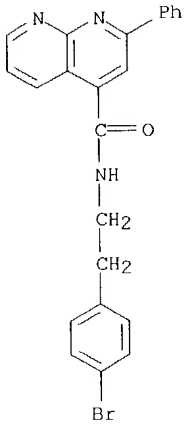
RN 298187-47-0 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-(cyclohexylphenylmethyl)-N-methyl-2-phenyl- (9CI) (CA INDEX NAME)



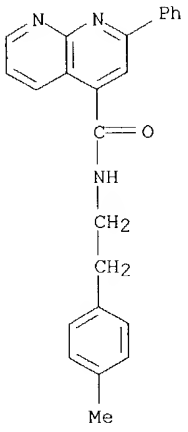
RN 298187-49-2 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-(2-cyclopentyl-1-phenylethyl)-N-methyl-2-phenyl- (9CI) (CA INDEX NAME)



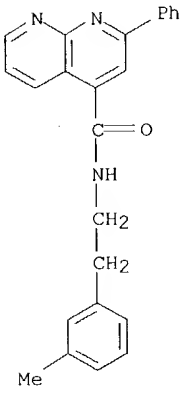
RN 298187-86-7 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[2-(4-bromophenyl)ethyl]-2-phenyl- (9CI) (CA INDEX NAME)



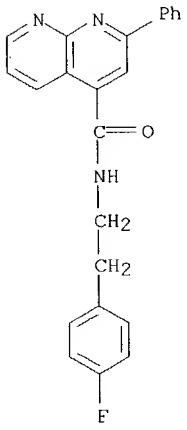
RN 298187-88-9 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[2-(4-methylphenyl)ethyl]-2-phenyl- (9CI) (CA INDEX NAME)



RN 298187-90-3 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[2-(3-methylphenyl)ethyl]-2-phenyl-
(9CI) (CA INDEX NAME)

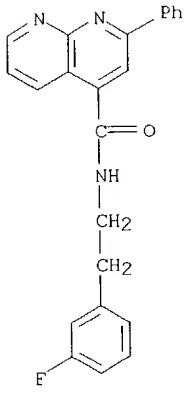


RN 298187-92-5 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[2-(4-fluorophenyl)ethyl]-2-phenyl-
(9CI) (CA INDEX NAME)

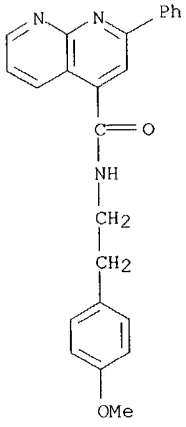


RN 298187-94-7 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[2-(3-fluorophenyl)ethyl]-2-phenyl-

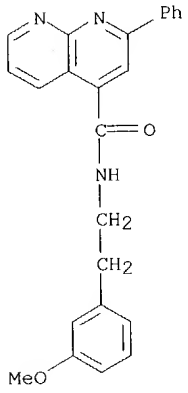
(9CI) (CA INDEX NAME)



RN 298187-96-9 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[2-(4-methoxyphenyl)ethyl]-2-phenyl-
(9CI) (CA INDEX NAME)

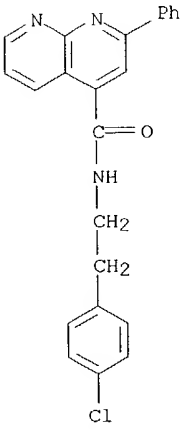


RN 298187-98-1 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[2-(3-methoxyphenyl)ethyl]-2-phenyl-
(9CI) (CA INDEX NAME)

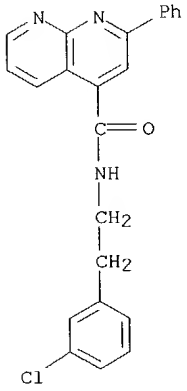


RN 298188-00-8 CAPLUS

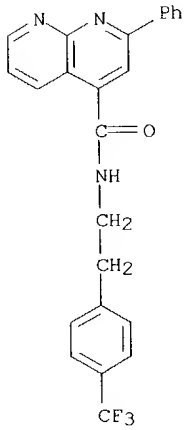
CN 1,8-Naphthyridine-4-carboxamide, N-[2-(4-chlorophenyl)ethyl]-2-phenyl- (9CI) (CA INDEX NAME)



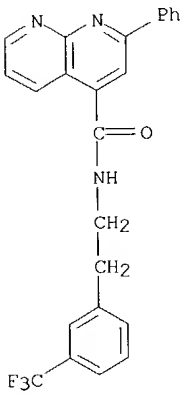
RN 298188-01-9 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[2-(3-chlorophenyl)ethyl]-2-phenyl- (9CI) (CA INDEX NAME)



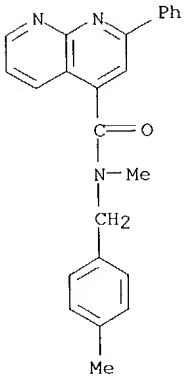
RN 298188-03-1 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, 2-phenyl-N-[2-[4-(trifluoromethyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)



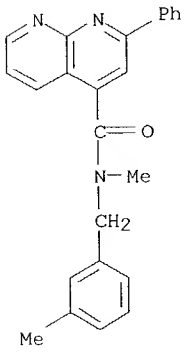
RN 298188-05-3 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, 2-phenyl-N-[2-[3-(trifluoromethyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)



RN 298188-07-5 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-methyl-N-[(4-methylphenyl)methyl]-2-phenyl- (9CI) (CA INDEX NAME)

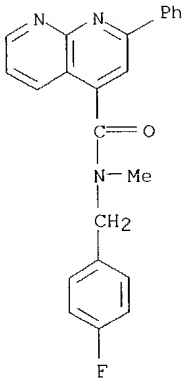


RN 298188-09-7 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-methyl-N-[(3-methylphenyl)methyl]-2-phenyl- (9CI) (CA INDEX NAME)

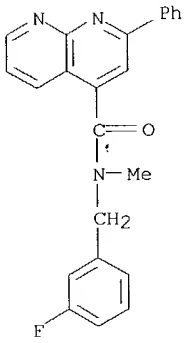


RN 298188-11-1 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[(4-fluorophenyl)methyl]-N-methyl-2-

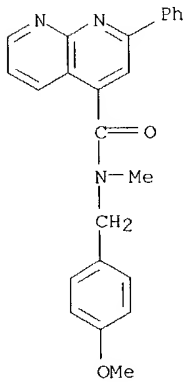
phenyl- (9CI) (CA INDEX NAME)



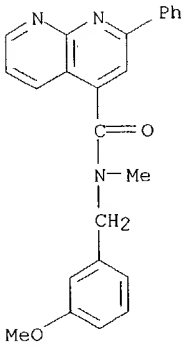
RN 298188-13-3 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[(3-fluorophenyl)methyl]-N-methyl-2-phenyl- (9CI) (CA INDEX NAME)



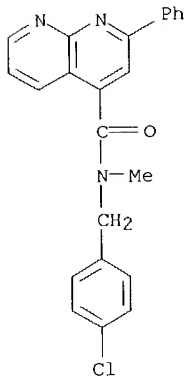
RN 298188-15-5 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[(4-methoxyphenyl)methyl]-N-methyl-2-phenyl- (9CI) (CA INDEX NAME)



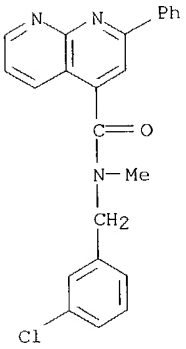
RN 298188-17-7 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[(3-methoxyphenyl)methyl]-N-methyl-2-phenyl- (9CI) (CA INDEX NAME)



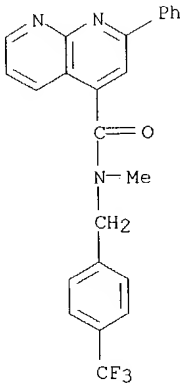
RN 298188-19-9 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[(4-chlorophenyl)methyl]-N-methyl-2-phenyl- (9CI) (CA INDEX NAME)



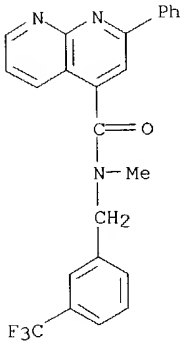
RN 298188-21-3 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[(3-chlorophenyl)methyl]-N-methyl-2-phenyl- (9CI) (CA INDEX NAME)



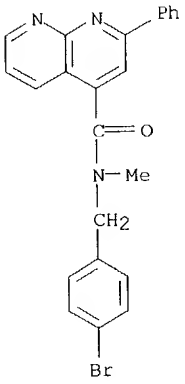
RN 298188-23-5 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-methyl-2-phenyl-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



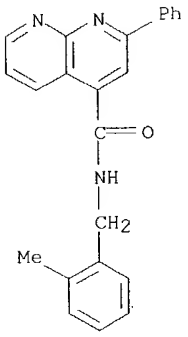
RN 298188-25-7 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-methyl-2-phenyl-N-[[3-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



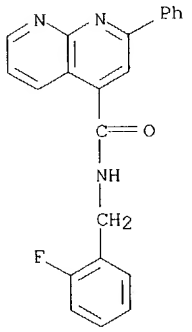
RN 298188-26-8 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[(4-bromophenyl)methyl]-N-methyl-2-phenyl- (9CI) (CA INDEX NAME)



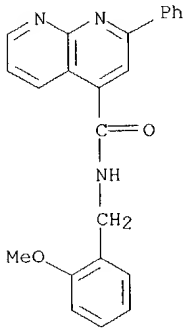
RN 298188-27-9 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[(2-methylphenyl)methyl]-2-phenyl- (9CI) (CA INDEX NAME)



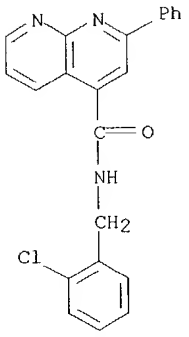
RN 298188-28-0 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[(2-fluorophenyl)methyl]-2-phenyl- (9CI) (CA INDEX NAME)



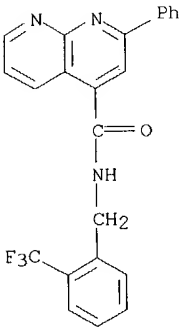
RN 298188-29-1 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[(2-methoxyphenyl)methyl]-2-phenyl- (9CI) (CA INDEX NAME)



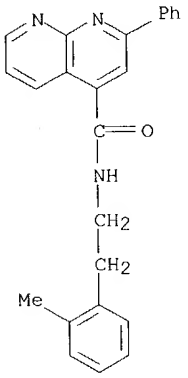
RN 298188-30-4 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[(2-chlorophenyl)methyl]-2-phenyl- (9CI) (CA INDEX NAME)



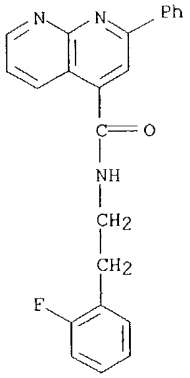
RN 298188-31-5 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, 2-phenyl-N-[[2-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



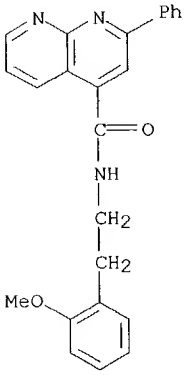
RN 298188-32-6 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[2-(2-methylphenyl)ethyl]-2-phenyl- (9CI) (CA INDEX NAME)



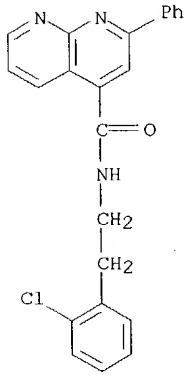
RN 298188-33-7 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[2-(2-fluorophenyl)ethyl]-2-phenyl- (9CI) (CA INDEX NAME)



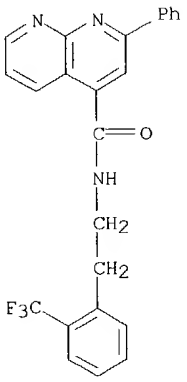
RN 298188-34-8 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[2-(2-methoxyphenyl)ethyl]-2-phenyl- (9CI) (CA INDEX NAME)



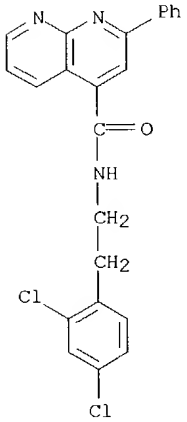
RN 298188-35-9 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[2-(2-chlorophenyl)ethyl]-2-phenyl- (9CI) (CA INDEX NAME)



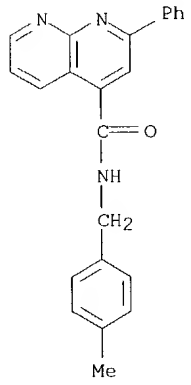
RN 298188-36-0 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, 2-phenyl-N-[2-[2-(trifluoromethyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)



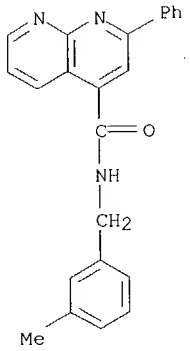
RN 298188-37-1 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[2-(2,4-dichlorophenyl)ethyl]-2-phenyl-
(9CI) (CA INDEX NAME)



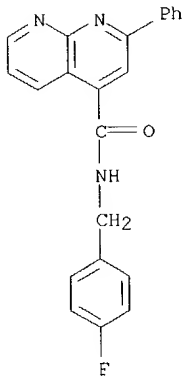
RN 298188-38-2 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[(4-methylphenyl)methyl]-2-phenyl-
(9CI) (CA INDEX NAME)



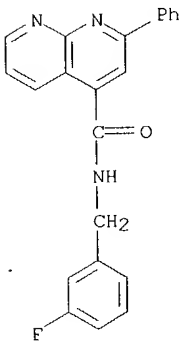
RN 298188-39-3 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[(3-methylphenyl)methyl]-2-phenyl-
(9CI) (CA INDEX NAME)



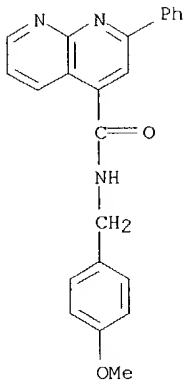
RN 298188-40-6 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[(4-fluorophenyl)methyl]-2-phenyl- (9CI) (CA INDEX NAME)



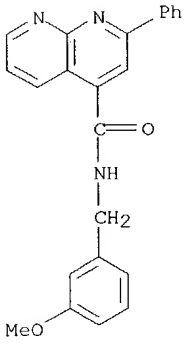
RN 298188-41-7 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[(3-fluorophenyl)methyl]-2-phenyl- (9CI) (CA INDEX NAME)



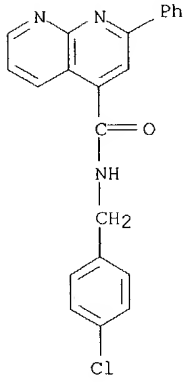
RN 298188-42-8 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[(4-methoxyphenyl)methyl]-2-phenyl- (9CI) (CA INDEX NAME)



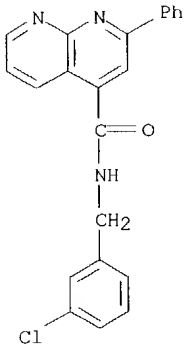
RN 298188-43-9 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[(3-methoxyphenyl)methyl]-2-phenyl-
(9CI) (CA INDEX NAME)



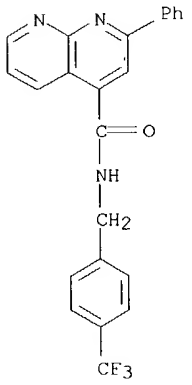
RN 298188-44-0 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[(4-chlorophenyl)methyl]-2-phenyl-
(9CI) (CA INDEX NAME)



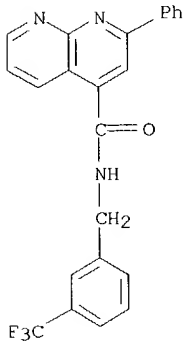
RN 298188-45-1 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[(3-chlorophenyl)methyl]-2-phenyl-
(9CI) (CA INDEX NAME)



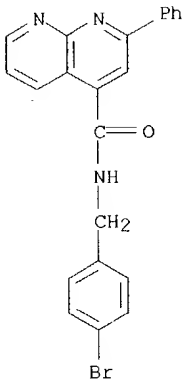
RN 298188-46-2 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, 2-phenyl-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



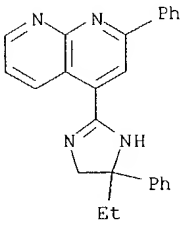
RN 298188-47-3 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, 2-phenyl-N-[[3-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



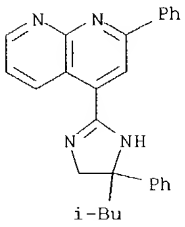
RN 298188-48-4 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[(4-bromophenyl)methyl]-2-phenyl- (9CI) (CA INDEX NAME)



RN 298189-46-5 CAPLUS
CN 1,8-Naphthyridine, 4-(4-ethyl-4,5-dihydro-4-phenyl-1H-imidazol-2-yl)-2-phenyl- (9CI) (CA INDEX NAME)

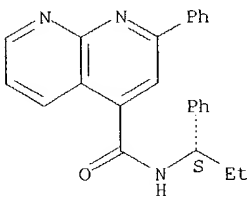


RN 298189-47-6 CAPLUS
CN 1,8-Naphthyridine, 4-[4,5-dihydro-4-(2-methylpropyl)-4-phenyl-1H-imidazol-2-yl]-2-phenyl- (9CI) (CA INDEX NAME)



RN 298189-51-2 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, 2-phenyl-N-[(1S)-1-phenylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

09914957

AN 2000:568542 CAPLUS
DN 133:150464
TI Preparation of quinolinylindole derivatives and compositions in use as antimicrobial agents
IN Cuny, Gregory D.; Hauske, James R.; Heefner, Donald L.; Hoemann, Michael Z.; Kumaravel, Gnanasambandam; Melikian-Badalian, Anita; Rossi, Richard F.; Xie, Roger L.
PA Sepracor, Inc., USA
SO U.S., 228 pp., Cont.-in-part of U.S. Ser. No. 99,640.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6103905	A	20000815	US 1998-213385	19981211
	US 6207679	B1	20010327	US 1998-45051	19980319
	US 6172084	B1	20010109	US 1998-99640	19980618
	WO 2000034265	A2	20000615	WO 1999-US28744	19991203
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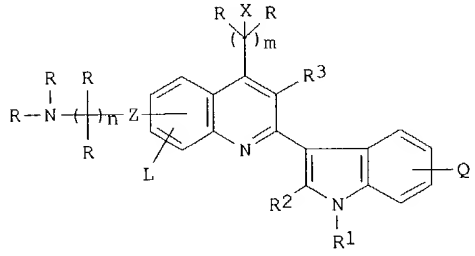
	US 1998-45051	A2	19980319		
	US 1998-99640	A2	19980618		
	US 1998-213385	A	19981211		
	US 2000-639622	A2	20000815		

OS MARPAT 133:150464
GI



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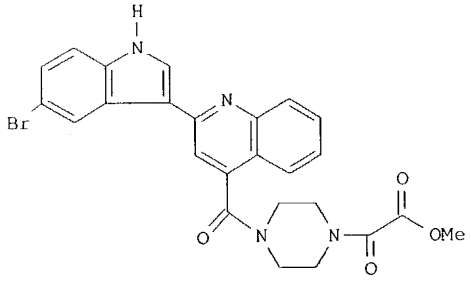


II

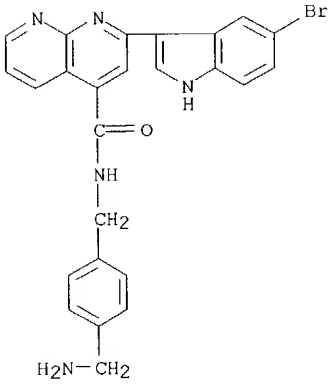
AB Title compds. [I; Q = hydrophobic group, H; X = heterocyclyl, amidinyl, formamidonyl, guanidinyl, CN, CSNR2, OR, SR; Z = CC, (E)-CH:CH, (Z)-CH:CH, (CH2)2; L = hydrophobic group, H; R represents independently for each occurrence = H, alkyl, heteroalkyl, aryl, heteroaryl, acyl, sulfonyl; R1 = H, alkyl, aryl, 4-CH3C6H4SO2, (CH2)d; d = 1-6; R2 = H, alkyl, aryl; R3 = H, alkyl, aryl; m = 1-8; n = 1-4] and pharmaceutical prepns. using title

compds. are prepared as antimicrobial agents. The MIC value of I against at least one Gram-pos. bacterium ranged from 0.1-10 μg/mL. Thus, the title compound II was prepared and has a therapeutic index in primates of at least 10 for the inhibition of infection by at least one Gram-pos. bacterium.

IT **218464-35-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of quinolinylindole derivs. as antimicrobial agents)

RN 218464-35-8 CAPLUS

CN 1,8-Naphthyridine-4-carboxamide, N-[[4-(aminomethyl)phenyl]methyl]-2-(5-bromo-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

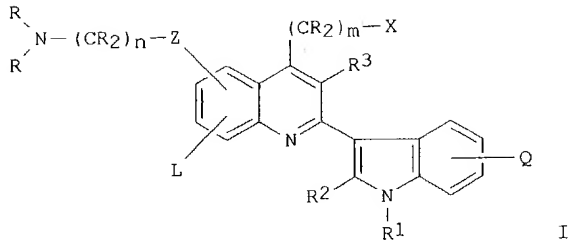


RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

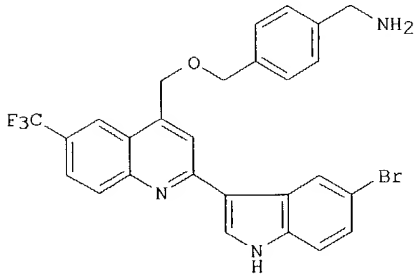
L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:401813 CAPLUS
DN 133:43453
TI Preparation of 2-(3-indolyl)quinolines as antibacterial agents
IN Cuny, Gregory D.; Hauske, James R.; Heefner, Donald L.; Hoemann, Michael Z.; Kumaravel, Gnanasambandam; Melikian-Badalian, Anita; Rossi, Richard F.; Xie, Roger L.
PA Sepracor, Inc., USA
SO PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000034265	A2	20000615	WO 1999-US28744	19991203
	WO 2000034265	A3	20021003		
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6103905	A	20000815	US 1998-213385	19981211
PRAI	US 1998-213385	A	19981211		
	US 1997-878781	B2	19970619		
	US 1998-45051	A2	19980319		
	US 1998-99640	A2	19980618		

OS MARPAT 133:43453
GI



I



II

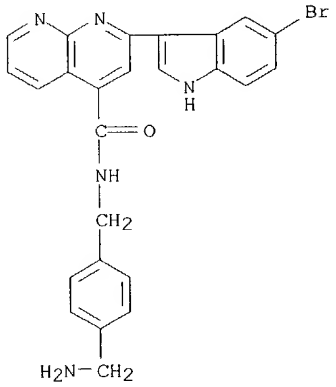
AB The title compds. (I) [wherein L and Q = independently a hydrophobic group or is absent; X = heterocyclyl, (form)amidinyl, guanidinyl, CN, C(S)NR2, N(R)C(S)R, OR, SR, NR2, or PR2; Z = C.tplbond.C, CH:CH, or CH2CH2; R = independently H, (hetero)alkyl, (hetero)aryl, acyl, sulfonyl, etc.; R1 = H, alkyl, aryl, p-toluenesulfonyl, phthalimidoalkyl, or aminoalkyl; R2 and R3 = independently H, alkyl, or acyl] were prepared by standard synthetic and solid phase combinatorial methods. For example, II was synthesized in a 3-step sequence involving: (1) reduction of 2-[5-bromo-1-(tert-butoxycarbonyl)indol-3-yl]-6-(trifluoromethyl)-4-quinolinecarboxylic acid to the alc. with LiAlH4 (44%), (2) addition of 4-iodo-N-(tert-butoxycarbonyl)benzylamine (preparation given) to the alc. (82%), and (3) indolyl and amine deprotection using TFA (78%). Nearly two-thirds of the 534 indolylquinolines tested in assays against cultures of methicillin-resistant Staphylococcus aureau (MRSA), ciprofloxacin-resistant Staphylococcus aureus (CRSA), vancomycin-resistant Enterococcus spp.(VRE), and/or penicillin-resistant Pseudomonas (PRP) had in vitro min. inhibitory concns. (MICs) ≤ 10 μM. For 12 of the 15 compds. tested in vivo for toxicity, all mice were surviving 7 days after administration of 40 mg/kg doses.

IT **218464-35-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-(3-indolyl)quinolines as antibacterial agents)

RN 218464-35-8 CAPLUS

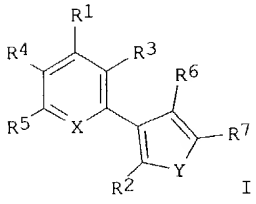
CN 1,8-Naphthyridine-4-carboxamide, N-[[4-(aminomethyl)phenyl]methyl]-2-(5-bromo-1H-indol-3-yl)- (9CI) (CA INDEX NAME)



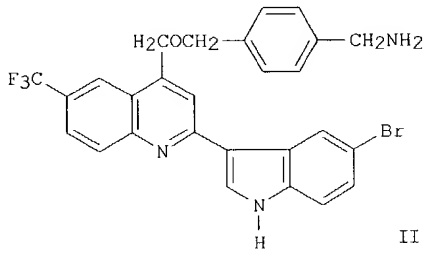
L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:27676 CAPLUS
DN 130:81422
TI Quinoline-indole antimicrobial agents
IN Kumaravel, Gnanasambandam; Hoemann, Michael Z.; Melikian-Badalian, Anita; Cuny, Gregory D.; Hauske, James R.; Heefner, Donald L.; Rossi, Richard F.
PA Sepracor, Inc., USA
SO PCT Int. Appl., 146 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9857931	A2	19981223	WO 1998-US12762	19980618
	WO 9857931	A3	19990429		
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, BM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 6207679	B1	20010327	US 1998-45051	19980319
	EP 991623	A2	20000412	EP 1998-930396	19980618
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2002505689	T2	20020219	JP 1999-504835	19980618
	AU 757059	B2	20030130	AU 1998-79797	19980618
	NO 9906269	A	20000216	NO 1999-6269	19991217
PRAI	US 1997-878781	A	19970619		
	US 1998-45051	A2	19980319		
	WO 1998-US12762	W	19980618		

OS MARPAT 130:81422
GI



I

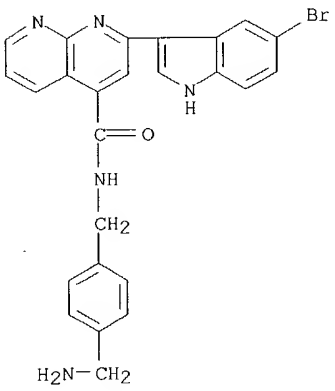


II

AB Indolylquinolines I [X = (un)substituted CH, N, N(O), P, As; Y = (un)substituted CH2, NH, O, Ph, S, AsH, Se; R1-R3 = H, halogen, alkyl, alkenyl, alkynyl, OH, alkoxy, silyloxy, NH2, NO2, SH, alkylthio, imino, amido, phosphoryl, phosphonate, phosphine, CO, CO2H, CONH2, anhydride, silyl, alkylsulfonyl, alkylseleno, aldehyde, ester, heteroalkyl, CN, epoxide, C(:NH)OH, oxime, SO2NH2, CSNH2, CS2NH2, urea, thiourea; R4R5, R6R7 = atoms required to complete a moncyclic or polycyclic ring system] were prepared individually or by combinatorial synthesis for use as bactericides. Thus, 4-H2NC6H4CO2H was esterified, N-tert-butoxycarbonylated, reduced and treated with iodine to give 4-BocNHC6H4CH2I which was coupled with the indolylquinolinemethanol fragment and deblocked to give the product II. II had MIC's <7 μg/mL against methicillin-resistant Staphylococcus aureus, vancomycin-resistant Enterobacter sp., and Streptococcus pneumoniae.

IT **218464-35-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolylquinoline bactericides)

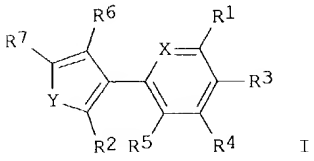
RN 218464-35-8 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[[4-(aminomethyl)phenyl]methyl]-2-(5-bromo-1H-indol-3-yl)- (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:9834 CAPLUS
DN 130:81421
TI Preparation of indolyl(iso)quinolines as bactericides
IN Kumaravel, Gnanasambandam; Hoemann, Michael Z.; Melikian-Badalian, Anita; Cuny, Gregory D.; Hauske, James R.; Heefner, Donald L.; Rossi, Richard F.
PA Sepracor Inc., USA
SO PCT Int. Appl., 138 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9857952	A1	19981223	WO 1998-US12706	19980618
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9882586	A1	19990104	AU 1998-82586	19980618
PRAI	US 1997-878781	A2	19970619		
	WO 1998-US12706	W	19980618		

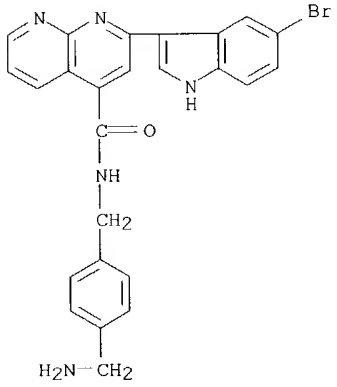
OS MARPAT 130:81421
GI



I

AB Title compds. [I; X = CR, N, NO, P, As; Y = CR2, NR, O, PR, S, AsR, Se; R,R1-R3 = H, halo, alkyl, alkoxy, etc.; R4R5,R6R7 = atoms to complete (un)substituted rings] were prepared Thus, solid-phase synthesis of a 1-(3-indolyl)isoquinoline-3-aminoalkylcarboxamide was described. Data for biol. activity of I were given.
IT **218464-35-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indolyl(iso)quinolines as bactericides)
RN 218464-35-8 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, N-[[4-(aminomethyl)phenyl]methyl]-2-(5-bromo-1H-indol-3-yl)- (9CI) (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

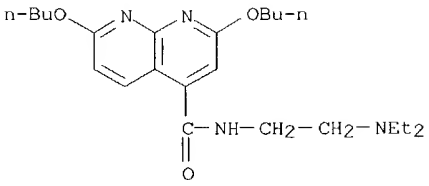
L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1958:61429 CAPLUS
DN 52:61429
OREF 52:11127d-g
TI Derivatives of 1,8-naphthyridine-4-carboxylic acid
IN Burckhardt, Verena; Suter, Hans; Kundig, Werner
PA Cilag Ltd.
DT Patent
LA Unavailable
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 829894		19520131	DE	

AB Various reactions were carried out with 2,7-dichloro-1,8-naphthyridine-4-carboxylic acid (I) to produce compds. of therapeutic value. Thus, catalytic hydrogenation of I in an alkaline medium formed 70% 1,8-naphthyridine-4-carboxylic acid, b. 290°; Me ester, m. 79-81°; picrate, m. 150-2°; amide, m. 254-5°. I and CH2N2 in ether formed the Me ester, m. 131-2°. 2,7-Dihydroxy-1,8-naphthyridine-4-carboxylic acid (II) was prepared by condensation of 2,6-diaminopyridine and di-Et oxalate to form 2-hydroxy-7-amino-1,8-naphthyridine-4-carboxylic acid followed by diazotization and decomposition of the diazonium compound; Et ester, m. 271-2°; Me ester, m. 240-2°. II with CH2N2 formed the di-Me ether Me ester, m. 139-41°. 2,7-Dibutoxy-1,8-naphthyridine-4-carboxylic acid (III), m. 164-6° (from I and BuONa), was dissolved in 200 ml. benzene containing 4.1 g. thionyl chloride, half the benzene distilled, 1.5 ml. dry pyridine in 120 ml. ether followed by 7.4 g. 2-(diethylamino)ethanol added, C5H5N.HCl removed, and the residue washed with H2O, dried, and distilled in a mol. still at 0.0001 mm. to give 72% 2,7-dibutoxy-1,8-naphthyridine-4-carboxylic acid 2-(diethylamino)ethyl ester. Similarly, the dibutylaminopropyl ester, b. 185-90°/10-3 mm., was obtained in 72% yield. III and SOCl2 in benzene gave the acid chloride which with 2-(diethylamino)ethylamine formed 2,7-dibutoxy-1,8-naphthyridine-4-carboxylic acid 2-(diethylamino)ethylamide, b. 175-80°/5 + 10-4 mm.

IT **103504-06-9**, 1,8-Naphthyridine-4-carboxamide, 2,7-dibutoxy-N-(2-diethylaminoethyl)-
(preparation of)
RN 103504-06-9 CAPLUS
CN 1,8-Naphthyridine-4-carboxamide, 2,7-dibutoxy-N-(2-diethylaminoethyl)- (6CI) (CA INDEX NAME)

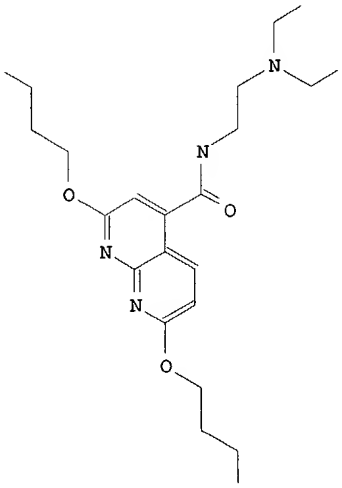
09914957



09914957

L6 ANSWER 1 OF 1 BEILSTEIN COPYRIGHT 2004 BEILSTEIN MDL on STN

Beilstein Records (BRN):	332332
Beilstein Pref. RN (BPR):	103504-06-9
CAS Reg. No. (RN):	103504-06-9
Chemical Name (CN):	2,7-dibutoxy-<1,8>naphthyridine-4-carboxylic acid-(2-diethylamino-ethylamide)
Autonom Name (AUN):	2,7-dibutoxy-<1,8>naphthyridine-4-carboxylic acid (2-diethylamino-ethyl)-amide
Molec. Formula (MF):	C23 H36 N4 O3
Molecular Weight (MW):	416.56
Lawson Number (LN):	29343, 3018, 2826, 316
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	354451
Tautomer ID (TAUTID):	343558
Beilstein Citation (BSO):	4-25-00-01270
Entry Date (DED):	1988/06/27
Update Date (DUPD):	1989/09/16



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
BPR	Beilstein Preferred RN	1
RN	CAS Registry Number	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	4
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
ED	Entry Date	1
UPD	Update Date	1
BP	Boiling Point	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

09914957

Boiling Point:

Value (BP) (Cel)	Press. (.P) (Torr)	Ref.	Note
175 - 180	0.0005	1	1

Reference(s):
1. Patent: Cilag DE 829894 1950, DRP/DRBP Org.Chem.

Notes(s):
1. Handbook

Reaction:
RX

Reaction ID (.ID):	5561118
Product BRN (.PBRN):	332332
Product (.PRO):	2,7-dibutoxy-<1,8>naphthyridine-4-carboxylic acid-(2-diethylamino-ethylamide)
No. of React. Details (.NVAR):	1

Reaction Details:
RX

Reaction RID (.RID):	5561118.1
Reaction Classification (.CL):	Preparation (half reaction)
Other Conditions (.COND):	332333
Note(s) (.COM):	Handbook

Reference(s):
1. Patent: Cilag DE 829894 1950, DRP/DRBP Org.Chem.

09914957

L13 ANSWER 1 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:100939 CAPLUS
DN **140:139510**
TI Methods for improvement of lung function using TGF-β inhibitors
IN Li, Zhihe; Liu, David Y.; Ma, Jing Ying; Protter, Andrew; Schreiner, George F.; Tran, Thomas-Toan
PA Scios, Inc., USA
SO PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004010929	A2	20040205	WO 2003-US23240	20030723

W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

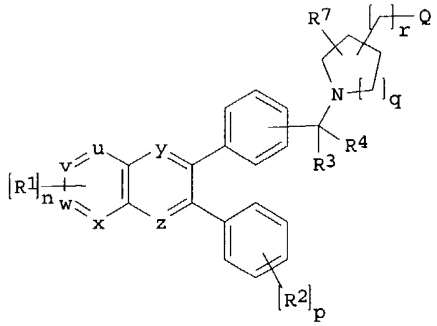
PRAI US 2002-399369P P 20020725
OS MARPAT 140:139510
AB The invention concerns methods for improvement of lung function by administering non-peptide small mol. inhibitors of TGF-β specifically binding to the type I TGF-β receptor (TGFβ-R1). Preferably, the inhibitors are quinazoline derivs.

L13 ANSWER 5 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:836848 CAPLUS
DN **139:350754**
TI Preparation of 2,3-diphenylquinoxaline derivatives as inhibitors of Akt activity for treating cancer
IN Bilodeau, Mark T.; Duggan, Mark E.; Hartnett, John C.; Lindsley, Craig W.; Manley, Peter J.; Wu, Zhicai; Zhao, Zhijian
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 228 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003086394	A1	20031023	WO 2003-US10442	20030404

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2002-370847P P 20020408
US 2002-417174P P 20021009
OS MARPAT 139:350754
GI



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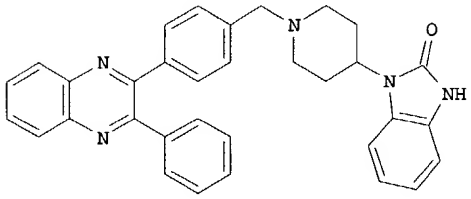

II

AB The title compds. comprising a 2,3-diphenylquinoxaline moiety [I; u, v, w and x = CH, N; y, z = CH, N (provided that at least one of y and z = N); Q = NR5R6, (un)substituted aryl, heterocyclyl; R1 = alkenyl, halo, CN, etc.; R2 = OH, CN, CO2H, etc.; R3, R4 = H, alkyl, perfluoroalkyl; or R3 and R4 are combined to form (CH2)t wherein one of the carbon atoms is optionally replaced by O, SOm, (un)substituted NHCO, N(COH); R5, R6 = H, aryl, heterocyclyl, etc.; or NR5R6 = monocyclic or bicyclic heterocycle; R7 = halo, CN, CO2H, etc.; n = 0-3; p = 0-2; t = 2-6; m = 0-2; q = 0-4; r = 0-1] and their salts which inhibit the activity of Akt, a serine/threonine protein kinase, were prepared E.g., a 2-step synthesis of the quinoxaline II [starting from 4-bromomethylbenzil and 4-(2-keto-1-benzimidazolinyl)piperidine], was given. The exemplified compds. I were found to have IC50 of ≤ 50 μM against one or more of Akt1, Akt2 and Akt3. The invention is further directed to chemotherapeutic compns. containing the compds. I and methods for treating cancer comprising administration of the compds. I.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 10 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:555467 CAPLUS
DN **137:109290**
TI Preparation of hetero-tricyclic compounds having substituted amino groups as inhibitors of production of IgE antibody
IN Tsuri, Tatsuo; Takechi, Shozo; Horibe, Isao
PA Shionogi & Co., Ltd., Japan
SO PCT Int. Appl., 166 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002057237	A1	20020725	WO 2002-JP260	20020117
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1354877	A1	20031022	EP 2002-716308	20020117
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 2004087604	A1	20040506	US 2003-250510	20030703
PRAI	JP 2001-12888	A	20010122		

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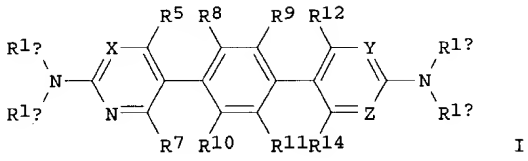
WO 2002-JP260 W 20020117
OS MARPAT 137:109290
GI



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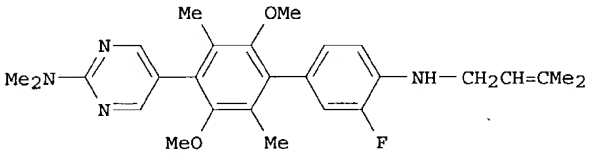

III

AB The title compds. including 2-amino-5-(1,1'-biphenyl-4-yl)pyridine, 2-amino-5-(1,1'-biphenyl-4-yl)pyrimidine, and 1,4-(2-amino-5-pyridyl)benzene, 4,7-(2-amino-5-pyridyl)benzimidazole, and 5,8-(2-amino-5-pyridyl)benzopyrazine derivs. represented by the general formula [I; wherein X = N or CR4; Y = N or CR13; Z = N or CR15; R1a, R1b, R1c, R1d = independently H, (un)substituted lower alkyl, lower alkenyl, lower alkynyl, optionally halo-substituted lower alkylsulfonyl, lower alkoxycarbonyl, cycloalkyl, arylsulfonyl, optionally alkyl-substituted carbamoyl, optionally lower alkyl-substituted sulfamoyl; R4-R15 = H, halo, HO, CO2H, guanidino, NO2, cyano, each (un)substituted lower alkyl, lower alkoxy, lower alkenyl, lower alkenyloxy, cycloalkyloxy, acyl, acyloxy, acyl, lower alkoxycarbonyl, lower alkenyloxycarbonyl, lower alkylthio, lower alkenylthio, NH2, carbamoyl, or sulfamoyl, etc.] or prodrugs thereof or pharmaceutically acceptable salts or hydrates thereof are prepared IgE production inhibitors, antiallergic agents, and immunosuppressants containing I are claimed. These compds. exhibit potent IgE-production inhibitory activity and excellent immunosuppressive and/or antiallergic effects. Thus, Suzuki coupling of 1,4-diiodo-2,3,5,6-tetramethylbenzene with 2-isopropylamino-5-pyridylboronic acid (preparation given) in the presence of tetrakis(triphenylphosphine)palladium and K2CO3 in a mixture of 1,2-dimethoxyethane, ethanol, and water under refluxing overnight gave 82% 1,4-bis(2-isopropylamino-5-pyridyl)-2,3,5,6-tetramethylbenzene (II). When administered to rats at 40 mg/kg p.o. daily for 10 days, many of the compds. I, e.g. 1-(4-aminophenyl)-4-(2-isopropylamino-5-pyridyl)-2,3,5,6-tetramethylbenzene and 2-amino-5-(1,1'-biphenyl-4-yl)pyrimidine (III), almost completely inhibited the production of anti-ovalbumin antibody. A tablet formulation containing II was prepared
RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 15 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:752982 CAPLUS
DN **135:311015**
TI Optical recording material containing carbostyril or naphthyridine compound
IN Miyazawa, Takashi; Maeda, Shuichi
PA Mitsubishi Chemical Corp., Japan
SO Jpn. Kokai Tokkyo Koho, 18 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2001287466	A2	20011016	JP 2001-27599	20010205
PRAI JP 2000-27627	A	20000204		

OS MARPAT 135:311015
GI

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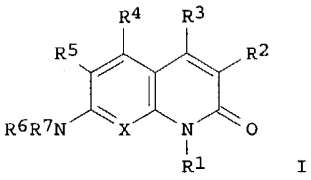


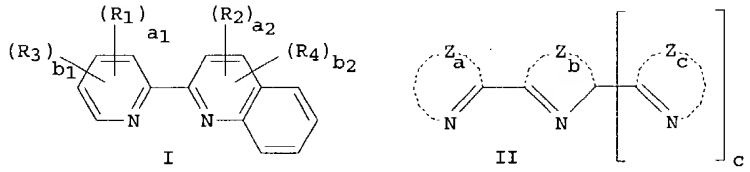
I

AB The optical recording material, recorded and/or read by laser beam, has a recording layer containing a carbostyril or 1,8-naphthyridine compound I (X = N, CR6; R1-8 = H, substituent, these may form a ring). The material, useful for WORM disk, can be recorded and read by laser beam with shorter wavelength.

L13 ANSWER 20 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:159462 CAPLUS
DN **134:210494**
TI Photoelectric converters, photoelectrochemical cells, and metal complex pigments
IN Takizawa, Hiroo
PA Fuji Photo Film Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 45 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2001059062	A2	20010306	JP 1999-375609	19991228
PRAI JP 1999-167564	A	19990614		

OS MARPAT 134:210494
GI



I II

AB The photoelec. converters contain semiconductor particles sensitized by a metal complex pigment, M(LL1)m1(LL2)m2Xm3·CI, where M = metal; LL1 = bidentate ligands I (R1 and R2 = carboxyl, sulfonic acid, hydroxyl, hydroxamic acid, phosphoryl, or phosphonyl groups; R3 and R4 = substituents; a1 and a2 = 0-4 integer; b1 = 0-3 integer; b2 = 0-5 integer; R3 and R4 may form a ring; LL2 = bi- or tri- dentate ligands) II (Za, Zb, Zc = nonmetal atoms forming 5- or 6-membered rings; c = 0 or 1); X = mono- or bi-dentate ligands selected from acyloxy, acylthio, thioacyloxy, thioacylthio, acylaminoxy, thiocarbamate, dithiocarbamate, thiocarbonate, dithiocarbonate, trithiocarbonate, acyl, thiocyanate, isothiocyanate, cyanate, isocyanate, cyano, alkylthio, arylthio, alkoxy, or aryloxy groups or halo, carbonyl, dialkylketone, 1,3-diketone, carboamido, thiocarboamido, thiourea, or isothiourea; m1 = 1-3 integer; m2 = 0-2 integer; m3 = 0-3 integer; and CI = counter ion. Photoelectrochem. cells using the above photoelec. converters show high conversion efficiency at visible to IR regions.

L13 ANSWER 25 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:161275 CAPLUS
DN **132:194387**
TI Preparation of quinazolines as p38-α kinase and TGF-β inhibitors
IN Chakravarty, Sarvajit; Dugar, Sundeep; Perumattam, John J.; Schreiner, George F.; Liu, David Y.; Lewicki, John A.
PA Scios Inc., USA
SO PCT Int. Appl., 48 pp.

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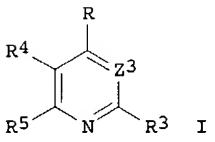
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000012497	A2	20000309	WO 1999-US19846	19990827
	WO 2000012497	A3	20000629		
	W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, EE, GE, HU, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6184226	B1	20010206	US 1998-141916	19980828
	CA 2342250	AA	20000309	CA 1999-2342250	19990827
	AU 9962413	A1	20000321	AU 1999-62413	19990827
	AU 771947	B2	20040408		
	EP 1107959	A2	20010620	EP 1999-949568	19990827
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 9913648	A	20020102	BR 1999-13648	19990827
	JP 2002523502	T2	20020730	JP 2000-567525	19990827
PRAI	US 1998-141916	A	19980828		
	WO 1999-US19846	W	19990827		

OS MARPAT 132:194387
GI



I

AB Title compds. [I; R = ZR1; R1 = (un)substituted cyclic (hetero)aliphatic group, -(hetero)aryl; R3 = noninterfering substituent (sic); R4R5 = atoms to complete a 6-membered aromatic ring containing 0, 1, or 2 nonadjacent N atoms and noninterfering substituent(s) (sic); z = bond or linker (sic); Z3 = CR2 or N; R2 = noninterfering substituent (sic)] were prepared Thus, prepn of, e.g., 4-(4-pyridinylamino)-2-phenylquinazoline was described. Data for biol. activity of I were given.

L13 ANSWER 30 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:926209 CAPLUS
DN **123:340094**
TI Preparation of naphthyridines as herbicides.
IN Bratz, Matthias; Meyer, Norbert; Koenig, Hartmann; Walter, Helmut; Gerber, Matthias; Westphalen, Karl-Otto
PA BASF A.-G., Germany
SO Ger. Offen., 26 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 4405712	A1	19950824	DE 1994-4405712	19940223
	EP 669332	A2	19950830	EP 1995-101966	19950214
	EP 669332	A3	19960807		
	R: CH, DE, FR, GB, IT, LI, NL				
	JP 08301870	A2	19961119	JP 1995-33984	19950222
	US 5723413	A	19980303	US 1995-392474	19950222
PRAI	DE 1994-4405712		19940223		

OS CASREACT 123:340094; MARPAT 123:340094
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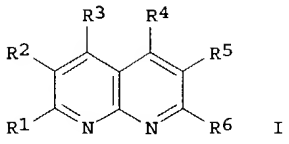

I

AB Title compds. [I; R1 = (substituted) (benzocondensed) 5-membered heteroaryl, (substituted) 6-membered heteroaryl, substituted Ph; R2-R6 = H, alkyl, alkenyl, cycloalkyl, haloalkyl, aminoalkyl, (substituted) Ph, PhCH2, etc.; with provisos], were prepared as herbicides (no data). Thus, 2-amino-3-formylpyridine and iso-Bu Ph ketone in MeOH were treated with aqueous KOH and the mixture was refluxed 5 h to give 20% 2-phenyl-3-isopropyl-1,8-naphthyridine.

L13 ANSWER 35 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:408821 CAPLUS
DN **119:8821**
TI Pyridazinediones and their use in the treatment of neurological disorders
IN Bare, Thomas Michael; Sparks, Richard Bruce
PA Imperial Chemical Industries PLC, UK
SO Eur. Pat. Appl., 64 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 516297	A1	19921202	EP 1992-304084	19920506
	EP 516297	B1	19961030		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
	ZA 9202998	A	19930224	ZA 1992-2998	19920424
	AU 9215213	A1	19921112	AU 1992-15213	19920428
	AU 642086	B2	19931007		
	CA 2067537	AA	19921110	CA 1992-2067537	19920429
	HU 61302	A2	19921228	HU 1992-1486	19920504
	AT 144707	E	19961115	AT 1992-304084	19920506
	ES 2093782	T3	19970101	ES 1992-304084	19920506
	SK 280336	B6	19991210	SK 1992-1396	19920507
	CZ 286814	B6	20000712	CZ 1992-1396	19920507
	NO 9201841	A	19921110	NO 1992-1841	19920508
	NO 180619	B	19970210		
	NO 180619	C	19970521		
	JP 05140162	A2	19930608	JP 1992-117285	19920511
	JP 3279633	B2	20020430		
	US 5604227	A	19970218	US 1995-421133	19950413
	US 5599814	A	19970204	US 1995-427469	19950424
	US 5733910	A	19980331	US 1996-689259	19960805
	US 5739133	A	19980414	US 1996-700654	19960815
PRAI	GB 1991-9973	A	19910509		
	GB 1992-2991	A	19920213		
	CS 1992-1396	A	19920507		
	US 1992-880965	B1	19920508		
	US 1993-156211	B1	19931122		
	US 1993-156659	B1	19931122		
	US 1995-421133	A1	19950413		
	US 1995-427469	A1	19950424		

OS MARPAT 119:8821
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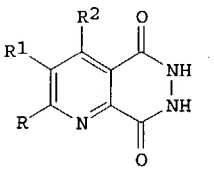

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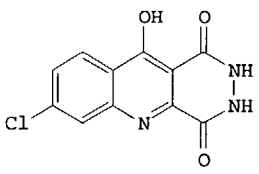

II

AB Title compds. I (RR1 = atoms required to complete an (un)substituted benzene, pyridine, or thiophene ring; R2 = H, NH2, NHNH2, OH, SH] and

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their mono-, di-, or triacylated derivs. are useful in treating neurodegenerative disorders. 4,2-Cl(H2N)C6H3CO2Me was cyclized with MeO2CC.tplbond.CCO2Me to give 28.9% di-Me 7-chloro-4-hydroxyquinoline-2,3-dicarboxylate which was treated with N2H4 to give 90% pyridiazinoquinolinedione II. II had an ED50 of 2.1 μM for inhibiting glutamate-induced contractions of isolated guineapig ileum.

L13 ANSWER 40 OF 40 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1990:440328 CAPLUS
DN **113:40328**
TI Preparation of 3-[(heterocyclylcarboxamido)methyl]cephemcarboxylates as antibiotics
IN Siret, Patrice Jean; Jung, Frederick Henri; Bele, William
PA Imperial Chemical Industries PLC, Fr.
SO Eur. Pat. Appl., 18 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 341990	A2	19891115	EP 1989-304704	19890509
	EP 341990	A3	19910515		
	EP 341990	B1	19941109		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 02149585	A2	19900608	JP 1989-115244	19890510
	US 5008259	A	19910416	US 1989-349663	19890510
PRAI	EP 1988-401143		19880510		

OS MARPAT 113:40328
GI For diagram(s), see printed CA Issue.
AB Cephalosporins substituted at the 3-position by Q1 [R1 = H, alkenyl, (un)substituted alkyl; X = fused ring Q2, Q3, fused (thio)pyridinone, etc.; A = CH, N; B = O, S, (un)substituted imino; 0-3 of D-G = N and the rest are CH; Y = 6-membered heteroaryl containing 1-2 N-atoms bearing R2 and R3 on adjacent C-atoms; R2, R3 = OH or metabolically labile esters thereof] were prepared Thus, 2-ethylamino-5,6-dimethoxypyridine (preparation given) was cyclocondensed with EtOCH:C(CO2Et)2 to give, after saponification and deprotection, oxonaphthyridinecarboxylate Q4OH which was condensed with cephemcarboxylate I (R = H) to give title compound I (R = Q4) which had MIC of 0.008 μg/mL against Pseudomonas aeruginosa PU21 (A8101028).

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=> d his

     (FILE 'HOME' ENTERED AT 13:29:43 ON 30 JUN 2004)

     FILE 'MEDLINE' ENTERED AT 13:29:55 ON 30 JUN 2004
L1           912 S NK3 OR NK-3
L2         63495 S SCHIZOPHRENIA
L3             3 S L1 AND L2

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=> d 1-3 bib abs

L3 ANSWER 1 OF 3 MEDLINE on STN
AN 2004270467 MEDLINE
DN PubMed ID: 15169685
TI Placebo-controlled evaluation of four novel compounds for the treatment of **schizophrenia** and schizoaffective disorder.
AU Meltzer Herbert Y; Arvanitis Lisa; Bauer Deborah; Rein Werner
CS Psychiatric Hospital at Vanderbilt University, Nashville, TN, USA. (Meta-Trial Study Group). herbert.meltzer@vanderbilt.edu
SO American journal of psychiatry, (2004 Jun) 161 (6) 975-84.
Journal code: 0370512. ISSN: 0002-953X.
CY United States
DT (CLINICAL TRIAL)
Journal; Article; (JOURNAL ARTICLE)
(MULTICENTER STUDY)
(RANDOMIZED CONTROLLED TRIAL)
LA English
FS Abridged Index Medicus Journals; Priority Journals
EM 200406
ED Entered STN: 20040602
Last Updated on STN: 20040625
Entered Medline: 20040624
AB OBJECTIVE: Four studies using identical protocols evaluated the safety and efficacy of four novel, evidence-based targets for antipsychotic agents: a neurokinin (**NK**(3)) antagonist (SR142801), a serotonin 2A/2C (5-HT(2A/2C)) antagonist (SR46349B), a central cannabinoid (CB(1)) antagonist (SR141716), and a neurotensin (NTS(1)) antagonist (SR48692). METHOD: Adults with **schizophrenia** or schizoaffective disorder (N=481) were randomly assigned in a 3:1:1 ratio to receive fixed doses of investigational drug, placebo, or haloperidol for 6 weeks. Primary efficacy variables included changes from baseline in total score on the Positive and Negative Syndrome Scale, severity of illness score on the Clinical Global Impression (CGI), and total score and psychosis cluster score on the Brief Psychiatric Rating Scale (BPRS). RESULTS: Significantly greater improvement in all primary efficacy variables was seen in the group receiving haloperidol than in the group receiving placebo at 6 weeks (endpoint analyses), indicating the validity of the study. The group receiving the **NK**(3) antagonist showed significantly greater improvement over baseline than the group receiving placebo as measured by Positive and Negative Syndrome Scale total score, CGI severity of illness score, and BPRS psychosis cluster score. Reductions in the Positive and Negative Syndrome Scale total and negative scores in the group receiving the 5-HT(2A/2C) antagonist were significantly larger than those in the group receiving placebo. The improvements in psychopathology produced by the **NK**(3) and 5-HT(2A/2C) antagonists were smaller than those produced by haloperidol, although the response to the **NK**(3) antagonist was positively correlated with plasma levels. The groups receiving the CB(1) and NTS(1) antagonists did not differ from the group receiving placebo on any outcome measure. All investigational drugs were well tolerated. CONCLUSIONS: The novel design used in this study permitted the use of a smaller number of patients receiving placebo to test the efficacy of the four novel compounds. The **NK**(3) and 5-HT(2A/2C) antagonists showed evidence of efficacy in the treatment of **schizophrenia** and schizoaffective disorder. Study limitations preclude a definitive conclusion on the efficacy of CB(1) and NTS(1) antagonists in the treatment of **schizophrenia.** Further study of these two promising nondopaminergic mechanisms to treat **schizophrenia** and schizoaffective disorder appears indicated.

L3 ANSWER 2 OF 3 MEDLINE on STN
AN 2002030423 MEDLINE
DN PubMed ID: 11757797
TI Osanetant Sanofi-Synthelabo.
AU Kamali F
CS Wolfson Unit of Clinical Pharmacology, University of Newcastle upon Tyne, Claremont Place, UK.. Farhad.Kamali@newcastle.ac.uk
SO Current opinion in investigational drugs (London, England : 2000), (2001 Jul) 2 (7) 950-6. Ref: 47
Journal code: 100965718. ISSN: 1472-4472.
CY England: United Kingdom
DT Journal; Article; (JOURNAL ARTICLE)
General Review; (REVIEW)
(REVIEW, TUTORIAL)
LA English
FS Priority Journals
EM 200206

09914957

ED Entered STN: 20020124
Last Updated on STN: 20020623
Entered Medline: 20020621
AB Osanetant is a neurokinin (**NK3**) receptor antagonist under development by Sanofi-Synthelabo (formerly Sanofi) as a potential treatment for **schizophrenia** [328910]. Sanofi was originally investigating its potential use as a treatment for psychosis and anxiety [169511]. Following phase IIa clinical trials [307656], [328910], [359231], osanetant entered phase IIb development in February 2001 [409432]. Osanetant was the first potent and selective non-peptide antagonist described for the **NK3** tachykinin receptor [176305]. It has a higher affinity for human and guinea pig **NK3** receptors than for rat **NK3** receptors [176305]. In October 1999, Lehman Brothers predicted that the probability of the product reaching the market was 10%, with a possible launch in 2003 and potential peak sales of US $200 million in 2011 [346267].

L3 ANSWER 3 OF 3 MEDLINE on STN
AN 97422051 MEDLINE
DN PubMed ID: 9276138
TI Neurokinin-receptor antagonists: pharmacological tools and therapeutic drugs.
AU Longmore J; Hill R G; Hargreaves R J
CS Merck Sharp and Dohme Research Laboratories, Neuroscience Research Centre, Harlow, United Kingdom.
SO Canadian journal of physiology and pharmacology, (1997 Jun) 75 (6) 612-21.
Ref: 103
Journal code: 0372712. ISSN: 0008-4212.
CY Canada
DT Journal; Article; (JOURNAL ARTICLE)
General Review; (REVIEW)
(REVIEW, TUTORIAL)
LA English
FS Priority Journals
EM 199710
ED Entered STN: 19971224
Last Updated on STN: 19971224
Entered Medline: 19971027
AB The mammalian tachykinins (substance P, neurokinin A, and neurokinin B) are widely distributed throughout the central and peripheral nervous systems, where they act as neurotransmitters or neuromodulators. Historically, the tachykinins have been implicated in a wide variety of biological actions such as pain transmission, neurogenic inflammation, smooth muscle contraction, vasodilation, secretion, and activation of the immune system. Their effects are mediated via specific G-protein-coupled receptors (NK1, NK2, and **NK3** receptors). The development of nonpeptide receptor antagonists revealed species differences in neurokinin-receptor pharmacology, and the recent cloning of human neurokinin receptors has led to development of compounds with optimized affinity for the human target receptor. The neurokinin-receptor antagonists have been used in preclinical experiments to confirm the physiological roles of the tachykinins. Importantly, it is now recognised that these agents can inhibit the actions of tachykinins released from peripheral nerves, and for the NK1-receptor antagonists (the most widely studied class of neurokinin-receptor antagonists) central sites of action have also been demonstrated. These studies support the development of neurokinin-receptor antagonists as potentially exploitable drug therapies in humans, particularly in the treatment of pain and emesis.

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L3 ANSWER 3 OF 3 MEDLINE on STN
AB . . . contraction, vasodilation, secretion, and activation of the immune system. Their effects are mediated via specific G-protein-coupled receptors (NK1, NK2, and **NK3** receptors). The development of nonpeptide receptor antagonists revealed species differences in neurokinin-receptor pharmacology, and the recent cloning of human neurokinin. . .
CT . . .
pharmacology
Animals
Anti-Anxiety Agents: PD, pharmacology
*Receptors, Tachykinin: AI, antagonists & inhibitors
Receptors, Tachykinin: CL, classification
Receptors, Tachykinin: PH, physiology
Schizophrenia: DT, drug therapy

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L4 1 OSANETANT/CN

=> d scan

L4 1 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN Acetamide, N-[1-[3-[(3R)-1-benzoyl-3-(3,4-dichlorophenyl)-3-piperidinyl]propyl]-4-phenyl-4-piperidinyl]-N-methyl- (9CI)
MF C35 H41 Cl2 N3 O2
CI COM

Absolute stereochemistry. Rotation (+).